

CLAIMS

What is claimed is:

1. A method for preparing a hydroxyalkyl tropane ester, comprising :
 - (a) contacting a tropane and 1,1'-carbonyldiimidazole to produce an activated tropane ester;
 - (b) contacting the activated tropane ester with an excess of an alkanediol to form a reaction mixture; and
 - (c) maintaining the reaction mixture at a temperature and for a sufficient time for the activated tropane ester to react with the alkanediol to form the corresponding hydroxyalkyl tropane ester.
2. The method according to claim 1, wherein the alkanediol is 1,2-propanediol.
3. The method according to claim 1, wherein the tropane is ecgonidine.
4. The method according to claim 3, wherein reaction of step (b) is carried out in dry DMF.
5. The method according to claim 3, wherein the excess of alkanediol is at least about 2 equivalents of alkanediol to 1 equivalent of tropane.
6. The method according to claim 3, wherein the reaction of step (b) is carried out under an inert gas.
7. The method according to claim 6, wherein the inert gas is nitrogen.
8. The method according to claim 1, wherein the tropane is ecgonine.
9. The method according to claim 7, wherein reaction of step (b) is carried out in dry DMF.

10. The method according to claim 7, wherein the excess of alkanediol is at least about 2 equivalents of alkanediol to 1 equivalent of tropane.
11. The method according to claim 7, wherein the reaction of step (b) is carried out under an inert gas.
12. The method according to claim 11, wherein the inert gas is nitrogen.
13. The method according to claim 1, wherein the tropane is benzoyleccgonine.
14. The method according to claim 13, wherein reaction of step (b) is carried out in methylene chloride.
15. The method according to claim 13, wherein the excess of alkanediol is at least about 2 equivalents of alkanediol to 1 equivalent of tropane.
16. The method according to claim 1, further comprising the step of isolating the hydroxyalkyl tropane ester from the reaction mixture.
17. The method according to claim 16, wherein the isolation is performed by extraction.
18. The method according to claim 16, further comprising the step of purifying the isolated hydroxyalkyl tropane ester.
19. The method according to claim 18, wherein the purification is performed by column chromatography.